Welcome to STN International! Enter x:X

LOGINID: SSPTAJHM1624

PASSWORD:

NEWS HOURS

NEWS LOGIN

NEWS IPC8

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS
         NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
NEWS
         NOV 26
                 MARPAT enhanced with FSORT command
NEWS
         NOV 26
                 CHEMSAFE now available on STN Easy
         NOV 26
NEWS
                 Two new SET commands increase convenience of STN
                 searching
NEWS
         DEC 01
                 ChemPort single article sales feature unavailable
      6
NEWS
         DEC 12
                 GBFULL now offers single source for full-text
                 coverage of complete UK patent families
NEWS
      8
         DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
NEWS
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10
         JAN 07
                 Classification Data
NEWS 11 FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02
                 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11
                 WTEXTILES reloaded and enhanced
NEWS 16
         FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art.
NEWS 17
         FEB 19
                 Increase the precision of your patent queries -- use
                 terms from the IPC Thesaurus, Version 2009.01
NEWS 18
         FEB 23
                 Several formats for image display and print options
                 discontinued in USPATFULL and USPAT2
NEWS 19
         FEB 23
                 MEDLINE now offers more precise author group fields
                 and 2009 MeSH terms
NEWS 20
         FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 21
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 22
         FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
                 INPADOCDB and INPAFAMDB enhanced with new display
NEWS 23
         MAR 06
                 formats
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
```

STN Operating Hours Plus Help Desk Availability

For general information regarding STN implementation of IPC 8

Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:54:54 ON 10 MAR 2009

=> FIL REGISTRY COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:55:12 ON 10 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 MAR 2009 HIGHEST RN 1117698-24-4 DICTIONARY FILE UPDATES: 8 MAR 2009 HIGHEST RN 1117698-24-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10585603\10585603a.str

```
chain nodes :
10  11  12  13  20  21  22  23  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  14  15  16  17  18  19
chain bonds :
3-10  9-25  10-11  10-24  11-12  12-13  12-23  13-14  15-22  17-21  18-20
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  14-15  14-19  15-16  16-17  17-18
18-19
exact/norm bonds :
1-2  1-6  2-3  3-4  3-10  4-5  5-6  5-7  6-9  7-8  8-9  10-11  10-24  11-12  12-23
exact bonds :
9-25  12-13  13-14  15-22  17-21  18-20
normalized bonds :
14-15  14-19  15-16  16-17  17-18  18-19
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

Stereo Bonds:

23-12 (Single Wedge).

Stereo Chiral Centers:

12 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 12

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:55:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 09:55:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10585603\10585603b.str

```
chain nodes :
10  11  12  13  20  21  22  23  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  14  15  16  17  18  19
chain bonds :
3-10  9-25  10-11  10-24  11-12  12-13  12-23  13-14  15-22  17-21  18-20
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  14-15  14-19  15-16  16-17  17-18
18-19
exact/norm bonds :
1-2  1-6  2-3  3-4  3-10  4-5  5-6  5-7  6-9  7-8  8-9  10-24  12-23
exact bonds :
9-25  10-11  11-12  12-13  13-14  15-22  17-21  18-20
normalized bonds :
14-15  14-19  15-16  16-17  17-18  18-19
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

Stereo Bonds:

23-12 (Single Wedge).

Stereo Chiral Centers:

12 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 12

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS L4 STR

Structure attributes must be viewed using STN Express query preparation.

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=> s 14
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SAMPLE SEARCH INITIATED 09:56:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 44 TO 476

PROJECTED ANSWERS: 3 TO 163

L5 3 SEA SSS SAM L4

=> d scan

L5 3 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Peptidase, dipeptidyl, IV, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI)

MF C16 H15 F6 N5 O . Unspecified

CM 1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L5 3 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 2,4-Thiazolidinedione, 5-[[4-[(6-methoxy-1-methyl-1H-benzimidazol-2-yl)methoxy]phenyl]methyl]-, mixt. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone phosphate (1:1)

MF C20 H19 N3 O4 S . C16 H15 F6 N5 O . H3 O4 P

CI MXS

CM 1

$$\begin{array}{c} \text{MeO} \\ \text{Me} \\ \end{array}$$

CM 2

CM 3

Absolute stereochemistry.

CM 4

L5 3 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluorophenyl)-, (3R)-

MF C16 H15 F6 N5 O

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s 14 full

FULL SEARCH INITIATED 09:56:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED 178 ITERATIONS 58 ANSWERS

SEARCH TIME: 00.00.01

L6 58 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 372.24 372.46

FILE 'CAPLUS' ENTERED AT 09:56:57 ON 10 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 10 Mar 2009 VOL 150 ISS 11 FILE LAST UPDATED: 9 Mar 2009 (20090309/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 248 L6

=> s 16 and (pd<=20040116 or ad<=20040116 or prd<=20040116)

248 L6

24800856 PD<=20040116

 $(PD \le 20040116)$

4804725 AD<=20040116

 $(AD \le 20040116)$

4276669 PRD<=20040116

(PRD<=20040116)

L8 18 L6 AND (PD<=20040116 OR AD<=20040116 OR PRD<=20040116)

=> d 18 1-18 ibib hitstr

L8 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1050865 CAPLUS

DOCUMENT NUMBER: 143:347172

TITLE: Preparation of imidazoles as inhibitors of glutaminyl

cyclase.

INVENTOR(S): Schilling, Stephan; Buchholz, Mirko; Niestroj, Andre

Johannes; Heiser, Ulrich; Demuth, Hans-Ulrich

PATENT ASSIGNEE(S): Probiodrug Ag, Germany

SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S.

Ser. No. 838,993.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP	PLICATION NO.		DATE		
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US 20050215573	A1	20050929	US	2005-51760		20050204		
US 7304086	В2	20071204						
US 20040224875	A1	20041111	US	2004-838993		20040505	<	
US 7371871	В2	20080513						
US 20090018087	A1	20090115	US	2007-923307		20071024		
PRIORITY APPLN. INFO.:			US	2004-542133P	Ρ	20040205		
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			US	2004-634364P	P	20041208		
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OTHER SOURCE(S).	CASREA	СТ 143.34717	12.	MARPAT 1/13 · 3/17172				

OTHER SOURCE(S): CASREACT 143:347172; MARPAT 143:347172

IT 654671-78-0, MK431

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy; preparation of imidazoles as inhibitors of glutaminyl cyclase)

RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:823672 CAPLUS

DOCUMENT NUMBER: 143:229851

TITLE: Preparation of imidazolyl thiourea derivatives as

inhibitors of glutaminyl cyclase

INVENTOR(S): Schilling, Stephan; Buchholz, Mirko; Niestroj, Andre

Johannes; Demuth, Hans-Ulrich; Heiser, Ulrich

PATENT ASSIGNEE(S): Probiodrug A.-G., Germany SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075436	A2	20050818	WO 2005-EP1153	20050204

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WO 2005075436
                                20051208
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         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             MR, NE, SN, TD, TG
     US 20040224875
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     CN 1918131
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PRIORITY APPLN. INFO.:
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                                             WO 2005-EP1153
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                                                                    20050204
OTHER SOURCE(S):
                         CASREACT 143:229851; MARPAT 143:229851
     654671-78-0, MK-431
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (claimed co-drugs; preparation of imidazolyl thiourea derivs. as inhibitors
        of glutaminyl cyclase)
RN
     654671-78-0 CAPLUS
CN
     1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
     a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1)
     (CA INDEX NAME)
     CM
          1
     CRN
          486460-32-6
     CMF
          C16 H15 F6 N5 O
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Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:729507 CAPLUS

DOCUMENT NUMBER: 143:216652

TITLE: Novel crystalline salts of a dipeptidyl peptidase-IV

inhibitor

INVENTOR(S): Ferlita, Russell R.; Hansen, Karl; Vydra, Vicky K.;

Wang, Yaling; Lindemann, Christopher M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
	WO 2005072530					A1 20050811			WO 2005-US951						20050112 <				
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
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			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
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			ΙE,	SI,	LT,	FΙ,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS			
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										WO 2005-US951					,	W 20050112			
тт	100	6160	32 6	D															

IT 486460-32-6P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline salts of dipeptidyl peptidase-IV inhibitor)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

IT 862156-86-3P 862156-87-4P 862156-90-9P

862156-92-1P 862156-93-2P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (crystalline salts of dipeptidyl peptidase-IV inhibitor)

RN 862156-86-3 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 862156-87-4 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CRN 486460-32-6

CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 104-15-4

CMF C7 H8 O3 S

RN 862156-90-9 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6

CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 3144-16-9

Absolute stereochemistry. Rotation (+).

RN 862156-92-1 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride, hydrate (1:1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

● H2O

RN 862156-93-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2R,3R)-2,3-dihydroxybutanedioate, hydrate (2:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

Absolute stereochemistry.

(CA INDEX NAME)

● HCl

RN 862156-85-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 862156-88-5 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

CRN 5872-08-2 CMF C10 H16 O4 S

RN 862156-89-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 862156-91-0 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R,4S)-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6

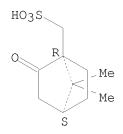
CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 35963-20-3 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:696517 CAPLUS

DOCUMENT NUMBER: 143:186770

TITLE: Glutaminyl cyclase inhibitors optionally combined with

other agents for the treatment of neuronal disorders

INVENTOR(S): Schulz, Ingo; Schilling, Stephan; Niestroj, Andre

Johannes; Heiser, Ulrich; Demuth, Hans-Ulrich;

Rossner, Steffen

PATENT ASSIGNEE(S): Probiodrug AG, Germany

SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S.

Ser. No. 976,677.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050171112	A1	20050804	US 2004-2169	20041202 <
US 20050137142	A1	20050623	US 2004-976677	20041029 <
US 20060100253	A1	20060511	US 2005-290735	20051130 <
WO 2006058720	A2	20060608	WO 2005-EP12765	20051130
WO 2006058720	A3	20060727		
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
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         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     EP 1824846
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                                           EP 2005-826439
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PRIORITY APPLN. INFO.:
                                            US 2003-516717P
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                                                                 A2 20041029
                                            US 2004-976677
                                            US 2004-2169
                                                                 A2 20041202
                                            US 2005-684137P
                                                                 Ρ
                                                                    20050524
                                            WO 2005-EP12765
                                                                    20051130
                                                                 W
OTHER SOURCE(S):
                         MARPAT 143:186770
ΙT
     654671-78-0
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (glutaminyl cyclase inhibitors optionally combined with other agents
        for treatment of neuronal disorders)
     654671-78-0 CAPLUS
RN
CN
     1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
     a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluoropheny1)-, (3R)-, phosphate (1:1)
     (CA INDEX NAME)
     CM
          1
     CRN
         486460-32-6
         C16 H15 F6 N5 O
     CMF
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Absolute stereochemistry.

CRN 7664-38-2 CMF H3 O4 P

2

CM

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HO-P-OH
   OH
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ANSWER 5 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:493507 CAPLUS

DOCUMENT NUMBER: 143:43869

TITLE: Preparation of nitrogen containing bicyclic

pyridine-based derivatives as inhibitors of HMG CoA

reductase

O'Connor, Stephen P.; Robl, Jeffrey; Ahmad, Saleem; INVENTOR(S): Bisaha, Sharon; Murugesan, Natesan; Ngu, Khehyong; Shi, Yan; Stein, Philip D.; Soundararajan, Nachimuthu; Natalie, Kenneth J., Jr.; Kolla, Laxma R.; Sausker,

Justin; Quinlan, Sandra L.; Fan, Junying; Petsch,

Dejah; Guo, Zhenrong

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

PCT Int. Appl., 193 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent Enalish LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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DATE
                                         APPLICATION NO.
                                                                DATE
    PATENT NO.
                        KIND
                        A1 20050609
                                          WO 2004-US39051
    WO 2005051386
                                                                 20041119 <--
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            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
            SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
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    US 20050171140
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    US 7420059
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                        A1
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
            HR, IS, YU
PRIORITY APPLN. INFO.:
                                           US 2003-523546P
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                                                              A 20041115
                                           US 2004-989138
                                                              W 20041119
                                           WO 2004-US39051
                        MARPAT 143:43869
    654671-78-0, MK 431
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OTHER SOURCE(S):

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (claimed co-drug; preparation of nitrogen-containing bicyclic pyridine-based derivs. as inhibitors of HMG CoA reductase)

RN 654671-78-0 CAPLUS

1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluoropheny1)-, (3R)-, phosphate (1:1)(CA INDEX NAME)

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:471999 CAPLUS

DOCUMENT NUMBER: 143:13357

TITLE: Combinations containing DPP IV inhibitors for

treatment of obesity-related disorders

INVENTOR(S):
Holmes, David Grenville

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND					D	DATE		APPLICATION NO.						DATE				
=	WO 2005049088 WO 2005049088					 2005 2005		,	WO 2004-EP12989						20041116 <			
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RW:	BW,	GH,	GM,	KE,	LS,	TZ, MW, RU,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004-290896 AU 2004290896 20050602 20041116 <--Α1 CA 2545514 20050602 CA 2004-2545514 20041116 <--Α1 Α2 20060809 EP 2004-797931 20041116 <--EP 1687030 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS BR 2004016627 Α 20070116 BR 2004-16627 20041116 <--CN 1901938 20070124 CN 2004-80040087 20041116 <--Α JP 2007511486 Τ 20070510 JP 2006-538824 20041116 <--KR 2006109912 20061023 KR 2006-709505 20060516 <--Α MX 2006-5596 MX 2006005596 Α 20060811 20060517 <--IN 2006CN01727 Α 20070810 IN 2006-CN1727 20060517 <--US 20070149451 20070628 US 2007-579580 20070125 <--A 1 PRIORITY APPLN. INFO.: US 2003-520564P Ρ 20031117 <--WO 2004-EP12989 20041116 W

IT 654671-78-0, MK-0431

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. containing DPP IV inhibitors for treatment of obesity-related disorders)

RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
T. 8
                              2005:471952 CAPLUS
ACCESSION NUMBER:
                              143:20035
DOCUMENT NUMBER:
                              Combinations useful for the treatment of neuronal
TITLE:
                              disorders
INVENTOR(S):
                              Schulz, Ingo; Schilling, Stephan; Niestroj, Andre
                              Johannes; Demuth, Hans-Ulrich; Rossner, Steffen
PATENT ASSIGNEE(S):
                              Probiodrug A.G., Germany
SOURCE:
                              PCT Int. Appl., 123 pp.
                              CODEN: PIXXD2
DOCUMENT TYPE:
                              Patent
LANGUAGE:
                              English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                                                    APPLICATION NO.
                            KIND DATE
                                                                                DATE
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      WO 2005049027
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                                       20050602
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           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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               SN, TD, TG
      AU 2004290499
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                               A2
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              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRIORITY APPLN. INFO.:
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                                                                            W 20041029
OTHER SOURCE(S):
                             MARPAT 143:20035
ΙT
      654671-78-0, MK-0431
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (dipeptidyl peptidase IV inhibitor; treatment of neuronal disorders
         using glutaminyl cyclase inhibitors in combination with other agents)
      654671-78-0 CAPLUS
RN
      1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
CN
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a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1)(CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN L8

2005:471947 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:1284

TITLE: Use of organic compounds

INVENTOR(S): Pratley, Richard; Foley, James E.; Hughes, Thomas

Edward

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

PCT Int. Appl., 35 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.					DATE					
WO 2005049022 WO 2005049022				A2 2005060 A3 2005072										20041116 <					
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
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	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
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		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,		
		SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,		
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CA	CA 2545641 A1						20050602 CA 2004-2545641						641		20041116 <				
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS BR 2004016628 Α 20070116 BR 2004-16628 20041116 <--CN 1905876 20070131 CN 2004-80040508 20041116 <--Α JP 2006-538825 20041116 <--JP 2007511487 Τ 20070510 MX 2006005518 MX 2006-5518 20060516 <--Α 20060817 KR 2006109911 Α 20061023 KR 2006-709502 20060516 <--IN 2006CN01724 20070629 IN 2006-CN1724 20060517 <--PRIORITY APPLN. INFO.: US 2003-520562P Ρ 20031117 <--US 2003-520563P Ρ 20031117 <--US 2004-547191P Ρ 20040224 US 2004-547192P Ρ 20040224 WO 2004-EP12990 W 20041116

IT 654671-78-0, MK-0431

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (DPP-IV inhibitors for treatment of cardiovascular and renal diseases)

RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:405417 CAPLUS

DOCUMENT NUMBER: 142:469248

TITLE: Pharmacetical compositions for enhanced absorption

INVENTOR(S): Wong, Patrick S. L.; Yan, Dong

PATENT ASSIGNEE(S): Alza Corporation, USA; Guittard, George V.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

				KIND DATE				APPL	ICAT	ION 1		DATE						
WC	2005	0419	25	A2 20050512 A3 20050929						 WO 2	004-	 US36						
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		EE, SI,	ES,	FI, TR,	FR,	GB,	GR, CF,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
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US	2005	0163	850		A1		2005	0728		US 2	004 -	9782	52		2	0041	029	<
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т 8.5	51476-	07-8								WU Z	004-	0000	040		vv Z	0041	しムラ	

IT 851476-07-8

RL: FMU (Formation, unclassified); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(pharmacetical compns. for enhanced absorption)

RN 851476-07-8 CAPLUS

CN 9-Octadecenamide, N-[(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, (9Z)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

F HN (CH₂)
$$7$$
 Z (CH₂) 7 Me

IT 486460-32-6

RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacetical compns. for enhanced absorption)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300188 CAPLUS

DOCUMENT NUMBER: 142:360851

TITLE: Novel crystalline form of a phosphate salt of a

dipeptidyl peptidase-IV inhibitor

INVENTOR(S): Chen, Alex M.; Wenslow, Robert M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 26 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Facenc

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE			IE APPLICATION NO.							D	DATE			
WO 2005		A2 A3		2000010				WO 2004-US30434						20040917 <				
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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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PRIORITY APPLN. INFO.:
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                                            WO 2004-US30434
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     654671-77-9P 654671-78-0P
ΤT
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (crystalline form of phosphate salt of dipeptidyl peptidase-IV inhibitor)
     654671-77-9 CAPLUS
RN
     1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
CN
     a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate, hydrate
     (1:1:1) (CA INDEX NAME)
     CM
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         486460-32-6
     CRN
         C16 H15 F6 N5 O
     CMF
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Absolute stereochemistry.

CM 2

CRN 7664-38-2

CMF H3 O4 P

RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

IT 486460-32-6P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline form of phosphate salt of dipeptidyl peptidase-IV inhibitor)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:216618 CAPLUS

DOCUMENT NUMBER: 142:303604

TITLE: Novel crystal forms of a dihydrogen phosphate salt of a trizolopyrazine dipeptidyl peptidase IV inhibitor

```
Wenslow, Robert M.; Armstrong, Joseph D., III; Chen,
INVENTOR(S):
                            Alex M.; Cypes, Stephen; Ferlita, Russell R.; Hansen,
                            Karl; Lindemann, Christopher M.; Spartalis, Evangelia
                            Merck & Co., Inc., USA
PATENT ASSIGNEE(S):
                            PCT Int. Appl., 49 pp.
SOURCE:
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                                    DATE
                                                APPLICATION NO.
                           KIND
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                                                WO 2004-US27983
     WO 2005020920
                            A2
                                    20050310
                                                                           20040827 <--
     WO 2005020920
                            A3
                                    20050428
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              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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                                                  IN 2006-DN1130
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                                                                       P 20030902 <--
PRIORITY APPLN. INFO.:
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                            CASREACT 142:303604
OTHER SOURCE(S):
     486460-32-6P 654671-78-0P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
```

(crystal forms of a trizolopyrazine dihydrogen phosphate salt dipeptidyl peptidase IV inhibitor)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

RN 654671-78-0 CAPLUS 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-CN a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME) CM 1 CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM

CRN 7664-38-2 H3 O4 P CMF

847445-75-4 847445-76-5 847445-77-6 ΙT 847445-78-7 847445-79-8 847445-80-1 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (crystal forms of a trizolopyrazine dihydrogen phosphate salt dipeptidyl peptidase IV inhibitor) RN 847445-75-4 CAPLUS 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-CN trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with 2-propanone (1:1:?) (9CI) (CA INDEX NAME) CM 1 486460-32-6 CRN C16 H15 F6 N5 O

Absolute stereochemistry.

CMF

CRN 7664-38-2 CMF H3 O4 P

CM 3

CRN 67-64-1 CMF C3 H6 O

RN 847445-76-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with acetonitrile (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

CRN 7664-38-2 CMF H3 O4 P

CM 3

CRN 75-05-8 CMF C2 H3 N

$_{\mathrm{H3C-C}}=\mathrm{N}$

RN 847445-77-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with methanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

CRN 67-56-1 CMF C H4 O

нзс-он

RN 847445-78-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with ethanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

CM 3

CRN 64-17-5 CMF C2 H6 O

H₃C-СH₂-ОН

RN 847445-79-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate,

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compd. with 1-propanol (1:1:?) (9CI) (CA INDEX NAME)
```

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

CM 3

CRN 71-23-8 CMF C3 H8 O

 $_{\mathrm{H3C}-\mathrm{CH}_2-\mathrm{CH}_2-\mathrm{OH}}$

RN 847445-80-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

CM 2

CRN 7664-38-2 CMF H3 O4 P

CM 3

CRN 67-63-0 CMF C3 H8 O

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:29336 CAPLUS

DOCUMENT NUMBER: 142:114455

TITLE: Preparation of phosphoric acid salt of a β -amino

acid amide dipeptidyl peptidase-IV inhibitor and its

monohydrate

INVENTOR(S): Cypes, Stephen Howard; Chen, Alex Minhua; Ferlita,

Russell R.; Hansen, Karl; Lee, Ivan; Vydra, Vicky K.;

Wenslow, Robert M., Jr.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003135	A1	20050113	WO 2004-US19683	20040618 <

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
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     654671-77-9P, (2R)-4-Oxo-4-[3-(trifluoromethyl)-5,6-dihydro-
ΙT
     [1,2,4]triazolo[4,3-a]pyrazin-7(8H)-y1]-1-(2,4,5-trifluorophenyl)butan<math>-2-
     amine dihydrogen phosphate monohydrate
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (DPPIV inhibitor; preparation of triazolopyrazine beta amino amide
        dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)
     654671-77-9 CAPLUS
RN
     1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
CN
     a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluoropheny1)-, (3R)-, phosphate, hydrate
     (1:1:1) (CA INDEX NAME)
     CM
          1
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Absolute stereochemistry.

486460-32-6

C16 H15 F6 N5 O

CRN

CMF

CM 2

CRN 7664-38-2 CMF H3 O4 P

IT 486460-32-6P, (2R)-4-0xo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2amine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor) 486460-32-6 CAPLUS

RN 486460-32-6 CAPLUS CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 654671-78-0P 823817-58-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)

RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 823817-58-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3S)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 823817-55-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2

IT 823817-55-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)

RN 823817-55-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1124587 CAPLUS

DOCUMENT NUMBER: 142:69188

TITLE: Combination therapy for the treatment of diabetes INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.;

Van Der Ploeg, Leonardus H. T.; Kanatani, Akio

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT N	PATENT NO.						KIND DATE					NO.		D.	ATE 0040602 < CA, CH, GB, GD, KZ, LC, NA, NI,					
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WO 20041	1103	75		A2		20041223			WO 2	004-	US17	291		2	20040602 <-					
WO 20041	1103	0375 A3 2					0512													
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	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,				
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RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,				
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1635832 EP 2004-753999 A2 20060322 20040602 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 20070099884 20070503 20051202 <--Α1 US 2005-559206 PRIORITY APPLN. INFO.: US 2003-476388P Ρ 20030606 <--

WO 2004-US17291 W 20040602

OTHER SOURCE(S): MARPAT 142:69188

486459-97-6 486460-32-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(dipeptidyl peptidase IV inhibitor; combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)

486459-97-6 CAPLUS RN

CN 1-Butanone, 3-amino-4-(4-bromo-2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA)INDEX NAME)

Absolute stereochemistry.

486460-32-6 CAPLUS RN

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN Γ8

ACCESSION NUMBER: 2004:964805 CAPLUS

DOCUMENT NUMBER: 141:388745

TITLE: Preparation of glutaminyl cyclase inhibitors for use

in treating neurological diseases

INVENTOR(S): Schilling, Stephan; Niestroj, Andre J.; Heiser,

Ulrich; Buchholz, Mirko; Demuth, Hans-Ulrich

PATENT ASSIGNEE(S):

Probiodrug AG, Germany U.S. Pat. Appl. Publ., 34 pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 8

	TENT NO.	KIND	DATE	APPLICATION NO.	
US		A1 B2	20041111 20080513		
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AU	2005210004	A1	20050818	AU 2005-210004	20050204
	2554809 2005075436	A1 A2	20050818 20050818	CA 2005-2554809 WO 2005-EP1153	
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	AZ, BY, EE, ES, RO, SE,	KG, KZ, MD FI, FR, GB	, RU, TJ, , GR, HU, , BF, BJ,	TM, AT, BE, BG, CH, IE, IS, IT, LT, LU, CF, CG, CI, CM, GA,	CY, CZ, DE, DK, MC, NL, PL, PT,
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BR JP MX KR US	1918131 2005007485 2007520520 2006008868 2006125884 20090018087	A A T A A A1	20070221 20070710 20070726 20061030 20061206 20090115 20080626	CN 2005-80004289 BR 2005-7485 JP 2006-551809 MX 2006-8868 KR 2006-717874 US 2007-923307 US 2008-46520	20050204 20050204 20050204 20060804 20060901 20071024
US	20080153892 20080286810 Y APPLN. INFO	A1	20080828	US 2008-101497 US 2003-468014P US 2003-468043P	20080312 < 20080411 < P 20030505 < P 20030505 <

20031015 <--US 2003-512038P Р US 2004-542133P Р 20040205 A3 20040505 EP 2004-731150 US 2004-838993 A 20040505 US 2004-839017 A3 20040505 WO 2004-EP4773 20040505 W US 2004-634364P Ρ 20041208 US 2005-51760 A1 20050204 WO 2005-EP1153 W 20050204

OTHER SOURCE(S): MARPAT 141:388745

IT 654671-78-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in combination chemotherapy; preparation of glutaminyl cyclase inhibitors for use in treating neurol. diseases)

RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6 CMF C16 H15 F6 N5 O

Absolute stereochemistry.

CM 2

CRN 7664-38-2 CMF H3 O4 P

REFERENCE COUNT: 347 THERE ARE 347 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:857554 CAPLUS

DOCUMENT NUMBER: 141:314625

TITLE: Process for the preparation of β -amino acid amide

dipeptidyl peptidase-IV inhibitors

INVENTOR(S): Angelaud, Remy; Armstrong, Joseph D., III; Askin, David; Balsells, Jaume; Hansen, Karl; Lee, Jaemoon;

Maligres, Peter E.; Rivera, Nelo R.; Xiao, Yi; Zhong,

Yong-Li

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT :			KIND DATE				APPL	ICAT	ION :	NO.		DATE					
	2004				A2		20041014			WO 2	004-	 US88		20040323 <				
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PRIORITY APPLN. INFO.: US 2003-457976P P 20030327 <-OTHER SOURCE(S): CASREACT 141:314625; MARPAT 141:314625

IT 486460-32-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparation of triazolopyrazine β -amino acyl derivs. as dipeptidyl peptidase-IV inhibitors)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:824045 CAPLUS

DOCUMENT NUMBER: 141:332476

TITLE: Process for preparation of chiral β -amino acid

derivatives

INVENTOR(S): Dreher, Spencer D.; Ikemoto, Norihiro; Njolito,

Eugenia; Rivera, Nelo R.; Tellers, David M.; Xiao, Yi

PATENT ASSIGNEE(S): Merck & Co., Inc, USA SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					KIND DATE				APPL	ICAT	ION :	NO.		DATE			
	2004				A2 20041007			WO 2004-US8533					20040319					
WO	2004	0856	61		A3	A3 20050310												
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
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		TD,	TG															

PRIORITY APPLN. INFO.:

US 2003-457128P P 20030324 <-US 2003-511210P P 20031015 <--

OTHER SOURCE(S): CASREACT 141:332476; MARPAT 141:332476

IT 769195-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of chiral $\beta\text{-amino}$ acid derivs. via addition of phenylglycine amide to triazolopyrazinyl $\beta\text{-ketoesters},$ followed by catalytic hydrogenation of enamines and catalytic hydrogenolysis)

RN 769195-20-2 CAPLUS

CN Benzeneacetamide, $\alpha-[[(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]amino]-, (<math>\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 486460-32-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (asym. synthesis of chiral β -amino acid derivs. via addition of phenylglycine amide to triazolopyrazinyl β -ketoesters, followed by catalytic hydrogenation of enamines and catalytic hydrogenolysis)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS 1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

2004:817850 CAPLUS ACCESSION NUMBER:

141:314350 DOCUMENT NUMBER:

TITLE: Process for the preparation of chiral β -amino

> acid derivatives by asymmetric hydrogenation of enamino esters and amides using transition-metal

complexed chiral ferrocenyldiphosphines.

INVENTOR(S): Xiao, Yi; Armstrong, Joseph D., III; Krska, Shane W.;

Njolito, Eugenia; Rivera, Nelo R.; Sun, Yongkui; Rosner, Thorsten

PATENT ASSIGNEE(S): Merck & Co. Inc., USA

PCT Int. Appl., 29 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

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CA	2518	435			A1		2004	1007		CA 2						0040	315	<		
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ΙN	2005	DN03	930		Α		2007	0824		IN 2	005-	DN39.	30		2	0050	902	<		

US 20060194977 A1 20060831 US 2005-549425 20050915 <--

US 7468459 B2 20081223

PRIORITY APPLN. INFO.: US 2003-455932P P 20030319 <--

WO 2004-US7793 A 20040315

OTHER SOURCE(S): CASREACT 141:314350; MARPAT 141:314350

IT 486460-32-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(preparation of chiral β -amino acid derivs. by asym. hydrogenation of enamino esters and amides using transition-metal complexed chiral ferrocenyldiphosphines)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:42275 CAPLUS

DOCUMENT NUMBER: 138:106717

TITLE: Preparation of β -amino

tetrahydroimidazo[1,2-a]pyrazines and

tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention

of diabetes

INVENTOR(S): Edmondson, Scott D.; Fisher, Michael H.; Kim, Dooseop;

MacCoss, Malcolm; Parmee, Emma R.; Weber, Ann E.; Xu,

Jinyou

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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    IL 159109
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OTHER SOURCE(S):
    486459-71-6P 486459-97-6P 486460-32-6P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of \beta-amino tetrahydroimidazo[1,2-a]pyrazines and
       tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors)
RN
    486459-71-6 CAPLUS
    1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-
CN
    a]pyrazin-7(8H)-y1]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)-
      (CA INDEX NAME)
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Absolute stereochemistry.

● HCl

RN 486459-97-6 CAPLUS

CN 1-Butanone, 3-amino-4-(4-bromo-2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 486460-23-5P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of β -amino tetrahydroimidazo[1,2-a]pyrazines and tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors) 486460-23-5 CAPLUS

CN Carbamic acid, [(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-dihydro-3-di

a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log hold COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 81.24 453.70

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:02:05 ON 10 MAR 2009